

10/781,305

FILE 'REGISTRY' ENTERED AT 10:36:07 ON 15 APR 2007
L1 STRUCTURE UPLOADED
L2 3 S L1 SSS SAM
L3 65 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:36:56 ON 15 APR 2007
L4 27 S L3

10/781,305

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NEWS 3 DEC 18 CA/CAPLUS pre-1967 chemical substance index entries enhanced
with preparation role
NEWS 4 DEC 18 CA/CAPLUS patent kind codes updated
NEWS 5 DEC 18 MARPAT to CA/CAPLUS accession number crossover limit increased
to 50,000
NEWS 6 DEC 18 MEDLINE updated in preparation for 2007 reload
NEWS 7 DEC 27 CA/CAPLUS enhanced with more pre-1907 records
NEWS 8 JAN 08 CHEMIST enhanced with New Zealand Inventory of Chemicals
NEWS 9 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 10 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 11 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 12 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 13 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 14 JAN 29 PHAR reloaded with new search and display fields
NEWS 15 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 16 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 17 FEB 15 RUSSIPAT enhanced with pre-1994 records
NEWS 18 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 19 FEB 26 MEDLINE reloaded with enhancements
NEWS 20 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 21 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 22 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 23 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 24 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 25 MAR 16 CASREACT coverage extended
NEWS 26 MAR 20 MARPAT now updated daily
NEWS 27 MAR 22 LWPI reloaded
NEWS 28 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 29 MAR 30 INPADOCDB will replace INPADOC on STN
NEWS 30 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'HOME' ENTERED AT 10:35:34 ON 15 APR 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

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	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 13 APR 2007 HIGHEST RN 930268-90-9
DICTIONARY FILE UPDATES: 13 APR 2007 HIGHEST RN 930268-90-9

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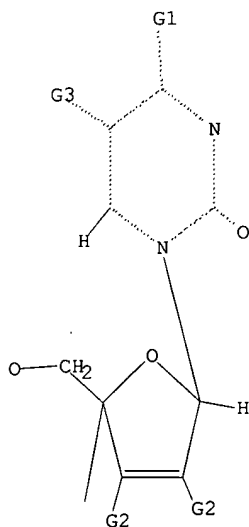
Uploading C:\Program Files\Stnexp\Queries\10781305amd.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O, NH

G2 H, Cl, Br, F, I

G3 C, H, X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 10:36:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

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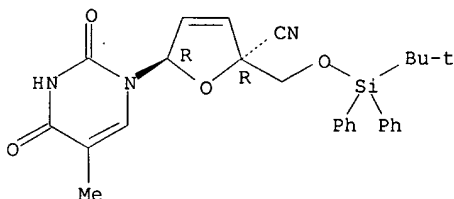
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 752 TO 1688
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> d 12

L2 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2007 ACS on STN
RN 774226-11-8 REGISTRY
ED Entered STN: 03 Nov 2004
CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-
2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2R,5R)-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H29 N3 O4 Si
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l1 full

FULL SEARCH INITIATED 10:36:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1326 TO ITERATE

100.0% PROCESSED 1326 ITERATIONS 65 ANSWERS
SEARCH TIME: 00.00.01

L3 65 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	174.05	174.26

FILE 'CAPLUS' ENTERED AT 10:36:56 ON 15 APR 2007
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FILE COVERS 1907 - 15 Apr 2007 VOL 146 ISS 17
FILE LAST UPDATED: 13 Apr 2007 (20070413/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

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They are available for your review at:

<http://www.cas.org/infopolicy.html>

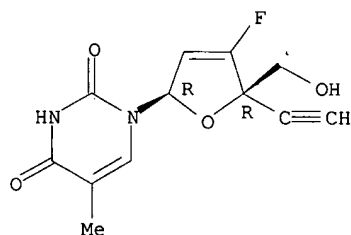
=> s 13

L4 27 L3

=> d bib abs hitstr 1-27 14

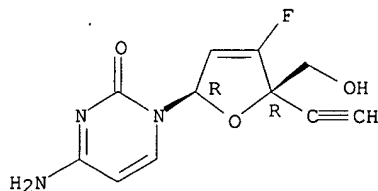
L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1317375 CAPLUS
DN 146:265782
TI Antiviral activity of nucleoside analogues against SARS-coronavirus (SARS-CoV)
AU Chu, Chung K.; Gadthula, Srinivas; Chen, Xin; Choo, Hyunah; Olgen, Sureyya; Barnard, Dale L.; Sidwell, Robert W.
CS College of Pharmacy, The University of Georgia, Athens, GA, USA
SO Antiviral Chemistry & Chemotherapy (2006), 17(5), 285-289
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press, Ltd.
DT Journal
LA English
AB The recent outbreak of severe acute respiratory syndrome (SARS), which is an acute respiratory illness, is caused by newly discovered SARS coronavirus (SARS-CoV). Herein we describe the antiviral activity of several classes of nucleoside analogs evaluated against SARS-CoV in Vero 76 cells, some of which exhibited moderate activity.
IT 765311-83-9P 765311-84-0P 765311-92-0P
765311-93-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiviral activity of nucleoside analogs against SARS-coronavirus (SARS-CoV))
RN 765311-83-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 765311-84-0 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

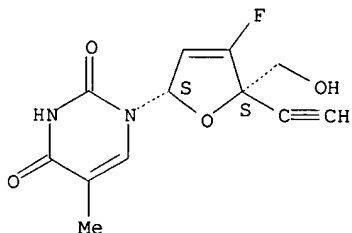
Absolute stereochemistry. Rotation (-).



RN 765311-92-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

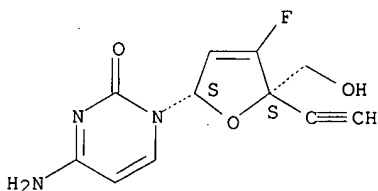
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RN 765311-93-1 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1296214 CAPLUS

DN 146:206566

TI Synthesis and Anti-Human Immunodeficiency Virus Activity of 4'-Branched
(±)-4'-ThiostavudinesAU Kumamoto, Hiroki; Nakai, Takahito; Haraguchi, Kazuhiro; Nakamura, Kazuo
T.; Tanaka, Hiromichi; Baba, Masanori; Cheng, Yung-ChiCS School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo,
142-8555, Japan

SO Journal of Medicinal Chemistry (2006), 49(26), 7861-7867

CODEN: JMCMAR; ISSN: 0022-2623

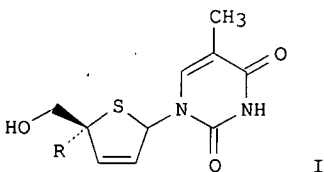
PB American Chemical Society

DT Journal

LA English

OS CASREACT 146:206566

GI

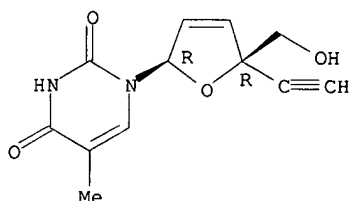


AB 4'-Branched (±)-4'-thiostavudines having a carbon substituent at the 4'-position were synthesized. Me 3-oxo-tetrahydrothiophene-2-carboxylate was used as a starting material to construct the requisite 4-thiofuranoid glycal. Introduction of a thymine base was carried out by an electrophilic addition reaction to 4-thiofuranoid glycal using N-iodosuccinimide (NIS) and bis(trimethylsilyl)thymine. The desired β-anomer obtained as a major product in this reaction underwent ready elimination with activated Zn to give the 4'-carbomethoxy derivative. By using 4'-carbomethoxy derivative as a common intermediate, 4'-carbon-substituted 4'-thiostavudines I (R = CH₂OH, CO₂Me, CONH₂, vinyl, CN, and ethynyl) were prepared. Among these six compds., I (R = CN, ethynyl) were found to show inhibitory activity against HIV-1 with ED₅₀ values of 7.6 and 0.74 μM, resp. The activity of I (R = ethynyl) was comparable to that of stavudine, but I (R = ethynyl) was not as active as 4'-ethynylstavudine.

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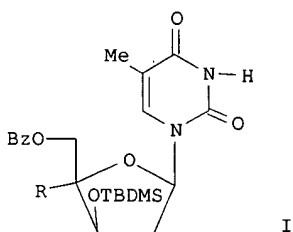
Optical resolution of I (R = ethynyl) was briefly examined
IT 634907-30-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(stereoselective synthesis and anti-HIV activity of
(±)-4'-thiostavudines via diastereoselective electrophilic
addition/glycosylation and elimination from Me oxo tetrahydrothiophene-
carboxylate and thymine base)
RN 634907-30-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:451111 CAPLUS
DN 145:124802
TI Nucleophilic Substitution at the 4'-Position of Nucleosides: New Access to
a Promising Anti-HIV Agent 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine
AU Haraguchi, Kazuhiro; Sumino, Masanori; Tanaka, Hiromichi
CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142-8555,
Japan
SO Journal of Organic Chemistry (2006), 71(12), 4433-4438
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 145:124802
GI



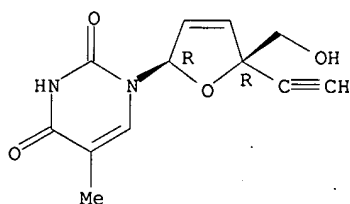
AB For the synthesis of 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine
(4'-Ed4T), a recently reported promising anti-HIV agent, a new approach
was developed. Since treatment of 1-(2,5-dideoxy-β-L-glycero-pent-4-
enofuranosyl)thymine with Pb(OBz)₄ allowed the introduction of the
4'-benzoyloxy leaving group, nucleophilic substitution at the 4'-position
became feasible for the first time. Thus, reaction between the
4'-benzoyloxy derivative I (R = OBz) and Me₃SiC.tplbond.CAl(Et)Cl as a
nucleophile led to the isolation of the desired 4'-"down"-ethynyl derivative I
(R = C.tplbond.C-SiMe₃) stereoselectively in 62% yield. As an application
of this approach, other 4'-substituted nucleosides, such as the 4'-allyl I
(R = allyl) and 4'-cyano derivs., were synthesized using organosilicon
reagents. In these instances, pre-treatment of I (R = OBz) with MeAlCl₂
was necessary.
IT 634907-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(nucleophilic substitution at 4'-position of nucleosides as new access
to promising HIV agent 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine)
RN 634907-30-5 CAPLUS

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CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

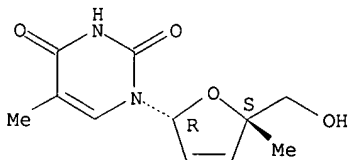
Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1151305 CAPLUS
DN 145:293237
TI A new approach to the synthesis of 4'-carbon-substituted nucleosides:
Development of a highly active anti-HIV agent 2', 3'-didehydro-3'-deoxy-4'-
ethynylthymidine
AU Haraguchi, Kazuhiro; Takeda, Shingo; Sumino, Masanori; Tanaka, Hiromichi;
Dutschman, Ginger E.; Cheng, Yung-Chi; Nitanda, Takao; Baba, Masanori
CS School of Pharmaceutical Sciences, Showa University, Tokyo, Japan
SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(5-7), 343-347
CODEN: NNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 145:293237
AB Oxidation of 3'-O-TBDMS-4',5'-unsatd. thymidine 3 with dimethyldioxirane
(DMDO) allowed the isolation of the epoxide. Upon reacting with
organosilicon reagents in the presence of SnCl4, the epoxide underwent
stereoselective ring opening to give 4'-α-allyl, 4'-α-(2-bromoallyl), 4'-α-(cyclopenten-3-yl), and
4'-α-cyano derivs. of thymidine. Reactions of the 3'-epimer with
organoaluminum reagents gave 4'-α-Me (I), 4'-α-vinyl (II), and
4'-α-ethynyl (III) analogs. Compds. I-III were transformed into
corresponding 2',3'-didehydro-3'-deoxy derivs. Evaluation of their
ability to inhibit the replication of HIV in cell culture showed that
4'-ethynyl-d4T is more potent and less toxic than the parent compound d4T.
IT 151989-82-1P 634907-29-2P 634907-30-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of 4'-carbon-substituted nucleosides
and 2', 3'-didehydro-3'-deoxy-4'-ethynylthymidines)
RN 151989-82-1 CAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

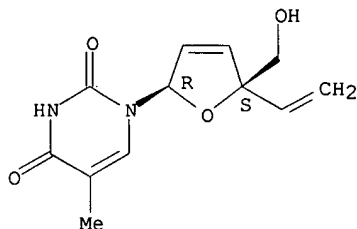
Absolute stereochemistry.



RN 634907-29-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

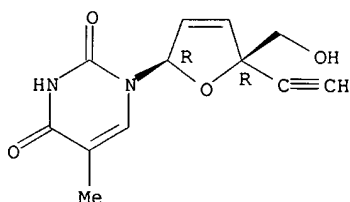
10/781,305



RN 634907-30-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:986427 CAPLUS

DN 143:318373

TI 4'-Ethynylstavudine (4'-Ed4T) has potent anti-HIV-1 activity with reduced toxicity and shows a unique activity profile against drug-resistant mutants

AU Tanaka, Hiromichi; Haraguchi, Kazuhiro; Kumamoto, Hiroki; Baba, Masanori; Cheng, Yung-Chi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, Japan

SO Antiviral Chemistry & Chemotherapy (2005), 16(4), 217-221

CODEN: ACCHEH; ISSN: 0956-3202

PB International Medical Press

DT Journal

LA English

AB A nucleoside analog 4'-ethynylstavudine (4'-Ed4T) was recently synthesized during chemical studies directed towards the development of a new route to 4'-carbon-substituted nucleosides. This compound was more anti-HIV-1 active than the parent compound stavudine (d4T) and much less toxic to various cells and also to mitochondrial DNA synthesis. It became apparent that 4'-Ed4T is a better substrate for human thymidine kinase than d4T, and very much more resistant to catabolism by thymidine phosphorylase. The study of 4'-Ed4T against various drug-resistant HIV-1 mutants has disclosed its unique activity profile.

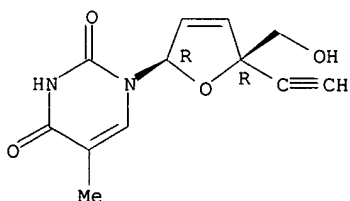
IT 634907-30-5

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ethynylstavudine has potent anti-HIV-1 activity with reduced toxicity and shows unique activity profile against drug-resistant mutants)

RN 634907-30-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



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IT 151989-82-1 634907-29-2 717913-88-7

717913-90-1 717913-91-2

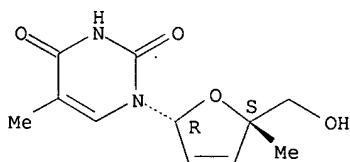
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(ethynylstavudine has potent anti-HIV-1 activity with reduced toxicity
and shows unique activity profile against drug-resistant mutants)

RN 151989-82-1 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

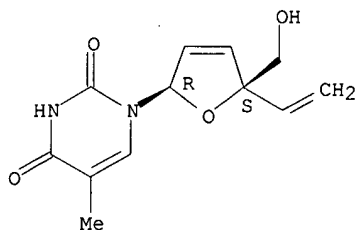
Absolute stereochemistry.



RN 634907-29-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

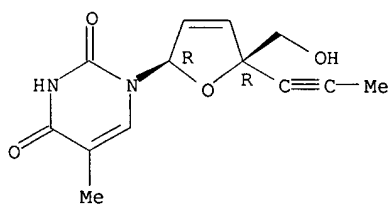
Absolute stereochemistry.



RN 717913-88-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

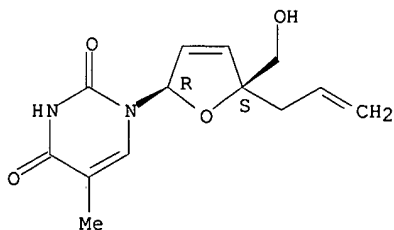
Absolute stereochemistry.



RN 717913-90-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 717913-91-2 CAPLUS

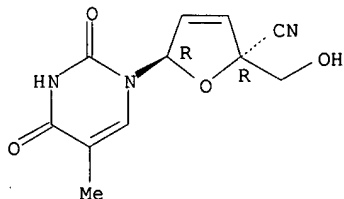
CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-

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2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

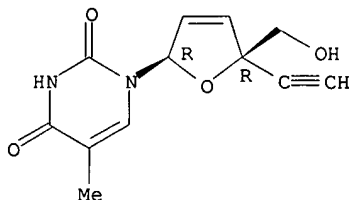


RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:714283 CAPLUS
DN 143:339014
TI Anti-human immunodeficiency virus type 1 activity and resistance profile
of 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine in vitro
AU Nitanda, Takao; Wang, Xin; Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka,
Hiromichi; Cheng, Yung-Chi; Baba, Masanori
CS Division of Antiviral Chemotherapy, Center for Chronic Viral Diseases,
Graduate School of Medical and Dental Sciences, Kagoshima University,
Kagoshima, 890-8544, Japan
SO Antimicrobial Agents and Chemotherapy (2005), 49(8), 3355-3360
CODEN: AMACQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine (4'-Ed4T) has been identified
as a novel nucleoside analog with potent and selective anti-human
immunodeficiency virus type 1 (HIV-1) activity and weak cytotoxicity in
cell cultures. 4'-Ed4T proved to be 5- to 10-fold more active than its
structurally related compound, stavudine (d4T). However, the drug
resistance profile of 4'-Ed4T was different from those of d4T and other
existing HIV-1 nucleoside reverse transcriptase inhibitors (NRTIs).
Approx. 6- to 11-fold decreases in susceptibility to 4'-Ed4T were observed
for HIV-1 carrying NRTI-associated mutations (D67N, K70R, T215F, and K219Q)
or the lamivudine (3TC)-resistant mutation M184V. In contrast, the
susceptibility of the virus carrying the K65R mutation or the
multidrug-resistant mutation with the Q151M complex (A62V, V75I, F77L,
F116Y, and Q151M) was not altered. Furthermore, the activity of 4'-Ed4T
appeared to be enhanced in the presence of K103N, a major nonnucleoside
reverse transcriptase inhibitor-resistant mutation. Although 4'-Ed4T was
4.5- to 17.5-fold less active against multidrug-resistant clin. isolates
than against a reference strain isolated from a treatment-naive patient, it was
still inhibitory to these isolates at low concns. Anal. of
4'-Ed4T-resistant HIV-1 obtained through in vitro selection revealed that
the virus was also resistant to 3TC and had two amino acid mutations
(P119S and T165A) in addition to the M184V mutation. Since 4'-Ed4T has
increased anti-HIV-1 activity, decreased cytotoxicity, and a different
resistance profile, it should be considered for further development as a
new member of NRTIs.
IT 634907-30-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(anti-HIV1 activity and resistance profile of
didehydrodeoxyethynylthymidine in vitro)
RN 634907-30-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

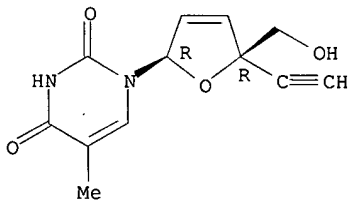
McIntosh



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:351348 CAPLUS
DN 144:51794
TI Synthesis of (±)-4'-ethynyl and 4'-cyano carbocyclic analogs of stavudine (d4T)
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.; Cheng, Yung-Chi; Kato, Keisuke
CS Pharmaceutical Sciences, Showa University, Tokyo, Japan
SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(2), 73-83
CODEN: NNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 144:51794
AB The synthesis of (±)-4'-ethynyl (I) and 4'-cyano (II) carbocyclic analogs of the anti-HIV agent stavudine (d4T) is reported. The carbocyclic unit was constructed from readily available β-keto ester. The ethynyl or cyano group of I and II were prepared, after the introduction of thymine base, by manipulation of the ester function. Evaluation of the anti-HIV activity of I and II was also carried out, but ultimately did not inhibit the virus.
IT 634907-30-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(synthesis and anti-HIV activity of (±)-4'-ethynyl and 4'-cyano carbocyclic nucleoside stavudine analogs)
RN 634907-30-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



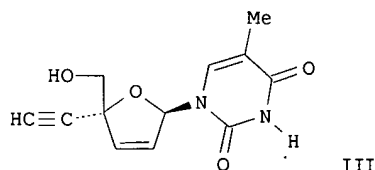
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:701799 CAPLUS
DN 141:225774
TI Preparation of 2',3'-dideoxy and 2',3'-didehydro nucleoside analogs as prodrugs for treating viral infections, most notably HIV
IN Cheng, Yung-chi; Tanaka, Hiromichi; Baba, Masanori
PA USA
SO U.S. Pat. Appl. Publ., 45 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

my 988.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004167096	A1	20040826	US 2004-781305	20040218
	AU 2004260630	A1	20050210	AU 2004-260630	20040218

CA 2514466 A1 20050210 CA 2004-2514466 20040218
 WO 2005011709 A1 20050210 WO 2004-US4713 20040218
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 BR 2004007374 A 20060110 BR 2004-7374 20040218
 EP 1653976 A1 20060510 EP 2004-775776 20040218
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 CN 1777432 A 20060524 CN 2004-80010529 20040218
 JP 2006528972 T 20061228 JP 2006-532288 20040218
 IN 2005KN01553 A 20061027 IN 2005-KN1553 20050805
 PRAI US 2003-448554P P 20030219
 WO 2004-US4713 W 20040218
 OS CASREACT 141:225774; MARPAT 141:225774
 GI



AB Nucleosides I, wherein B is nucleobase; Z is O or CH₂; R is H, OH, halo, alkyl substituents; R₁ can be H, Me, alkenyl, alkynyl; R₂ is H, acyl, alkyl, ether, phosphoethers; and 2',3'-didehydro nucleosides II where Z is O; and R₃ can alkyl, alkenyl, alkynyl, halo, hydroxy, were prepared as prodrugs and antiviral agents. Thus, the synthesized 2',3'-dideoxy and didehydro nucleoside analogs were tested as potential antiviral, anti-HIV and anti-infective prodrugs as independent agents, or in combination with other agents. Specifically, didehydro nucleoside III was prepared and tested in vitro as potent anti-HIV-1 agent (EC₅₀ = 0.25 ± 0.14) and as well less toxic (ID₅₀ >256) as D4T, therefor has the potential as a new anti-HIV drug.

IT 151989-82-1P 634907-29-2P 634907-30-5P
 717913-88-7P 717913-89-8P 717913-90-1P
 717913-91-2P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

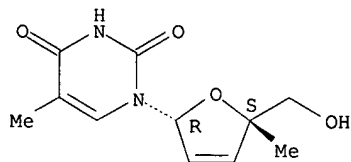
(synthesis of 2',3'-dideoxy and didehydro nucleoside analog and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

RN 151989-82-1 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

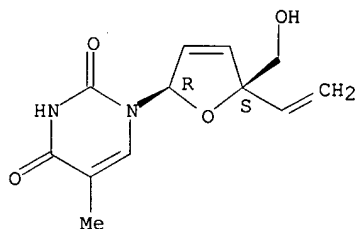
10/781,305



RN 634907-29-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

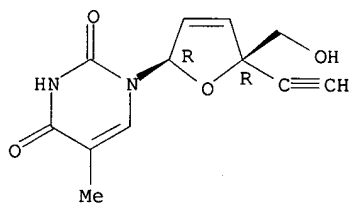
Absolute stereochemistry.



RN 634907-30-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

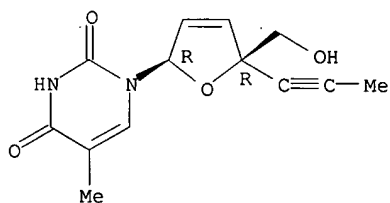
Absolute stereochemistry.



RN 717913-88-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

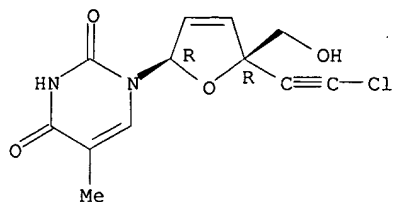


RN 717913-89-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-(chloroethynyl)-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

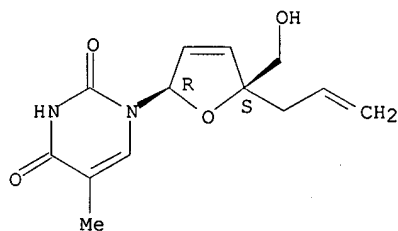
10/781,305



RN 717913-90-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

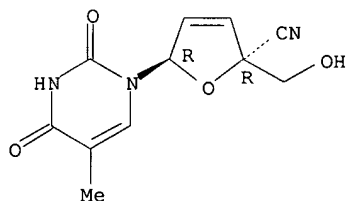
Absolute stereochemistry.



RN 717913-91-2 CAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



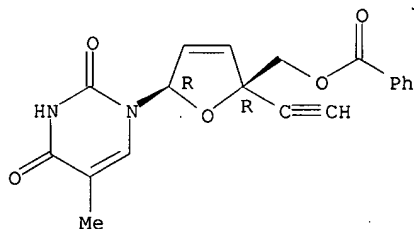
IT 744217-17-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2',3'-dideoxy and didehydro nucleoside analog and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

RN 744217-17-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-[(benzoyloxy)methyl]-5-ethynyl-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:641088 CAPLUS

DN 141:296237

TI Synthesis of 3'-Fluoro-2',3'-dideoxy-2',3'-didehydro-4'-ethynyl-D- and -L-furanosyl Nucleosides

McIntosh

10/781,305

AU Chen, Xin; Zhou, Wen; Schinazi, Raymond F.; Chu, Chung K.
CS College of Pharmacy, University of Georgia, Athens, GA, 30602, USA
SO Journal of Organic Chemistry (2004), 69(18), 6034-6041
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 141:296237

AB An efficient procedure has been developed for the synthesis of 3'-fluoro-2',3'-dideoxy-2',3'-didehydro-4'-ethynyl D- and L-furanosyl nucleosides starting from 2,3-O-isopropylidene-D-glyceraldehyde. The key intermediate 1-O-benzoyl-3E-fluoro-3,4-unsatd.-5,6-di(tert-butyltrimethylsilyloxy)-2-hexanone was obtained in nine steps with the overall yield of 22%. This α,β -unsatd. ketone was then treated with ethynylmagnesium bromide in a typical Grignard reaction procedure to afford two intermediates, which after deprotection, oxidation, and acetylation gave the corresponding 4-ethynyl-substituted D- and L-sugar moieties. A series of D- and L-pyrimidine and purine nucleosides were prepared by the coupling of the sugar moieties with various silyl-protected bases. The anomeric mixts. were obtained after condensation. After separation, the β -isomers were further deprotected to yield the target nucleosides. All the newly synthesized 4'-substituted nucleosides were tested for their activities against HIV, among which the D-adenine derivative showed moderate anti-HIV activity (EC50 = 25.1 μ M) without significant cytotoxicity.

IT 765311-83-9P 765311-84-0P 765311-92-0P

765311-93-1P

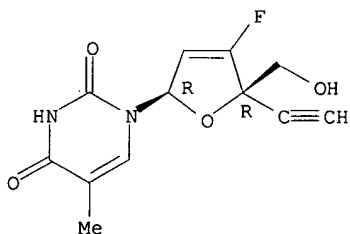
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antiviral activity of 3'-fluorodideoxydidehydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-83-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

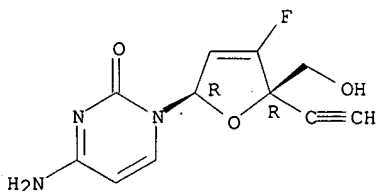
Absolute stereochemistry. Rotation (-).



RN 765311-84-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

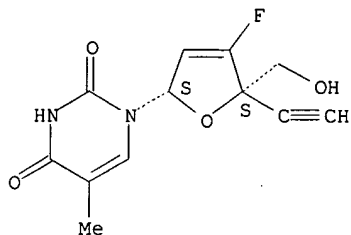


RN 765311-92-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

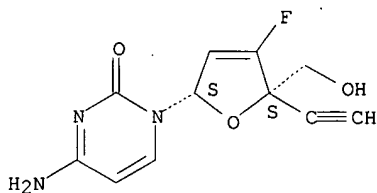
10/781,305



RN 765311-93-1 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 765311-77-1P 765311-78-2P 765311-86-2P

765311-87-3P

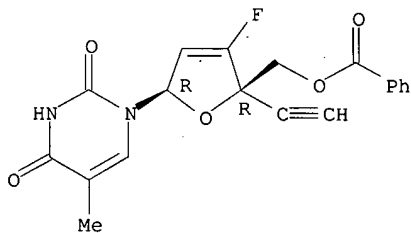
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral activity of 3'-fluorodideoxydidehydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-77-1 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-ethynyl-3'-fluoro-, 5'-benzoate (9CI) (CA INDEX NAME)

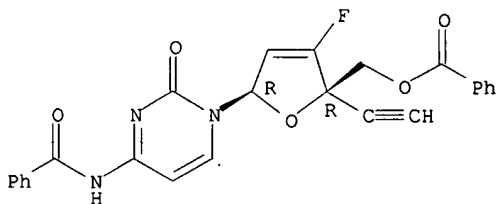
Absolute stereochemistry. Rotation (+).



RN 765311-78-2 CAPLUS

CN Cytidine, N-benzoyl-2',3'-didehydro-2',3'-dideoxy-4'-C-ethynyl-3'-fluoro-, 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 765311-86-2 CAPLUS

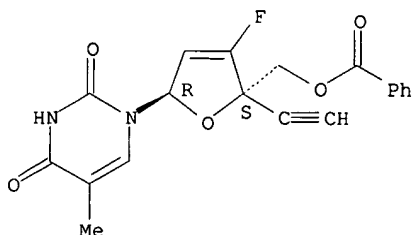
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

McIntosh

10/781,305

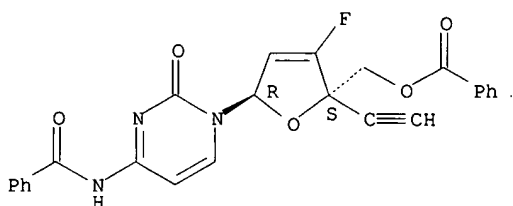
Absolute stereochemistry. Rotation (-).



RN 765311-89-5 CAPLUS

CN Benzamide, N-[1-[(2R,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:393067 CAPLUS

DN 141:350346

TI Synthesis and Anti-HIV Activity of 4'-Cyano-2',3'-didehydro-3'-deoxythymidine

AU Haraguchi, Kazuhiro; Itoh, Yoshiharu; Takeda, Shingo; Honma, Yosuke; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.; Cheng, Yung-Chi

CS ~~School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo, Japan~~

SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(4), 647-654

CODEN: NNAFY; ISSN: 1525-7770

PB Marcel Dekker, Inc.

DT Journal

LA English

OS CASREACT 141:350346

AB A new anti-HIV agent 4'-cyano-2',3'-didehydro-3'-deoxythymidine was synthesized by allylic substitution of the 3',4'-unsatd. nucleoside, having a leaving group at the 2'-position, with cyanotrimethylsilane in the presence of SnCl4. Evaluation of the anti-HIV activity showed that this compound is much less potent than the recently reported 2',3'-didehydro-3'-deoxy-4'-(ethynyl)thymidine.

IT 634907-30-5

RL: PAC (Pharmacological activity); BIOL (Biological study)

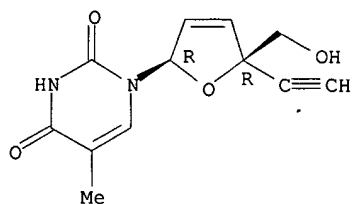
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)

RN 634907-30-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

10/781,305



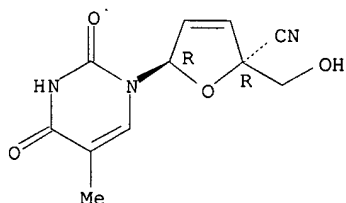
IT 717913-91-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)

RN 717913-91-2 CAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



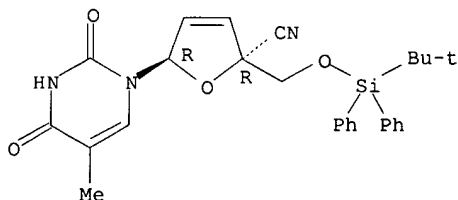
IT 774226-11-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)

RN 774226-11-8 CAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



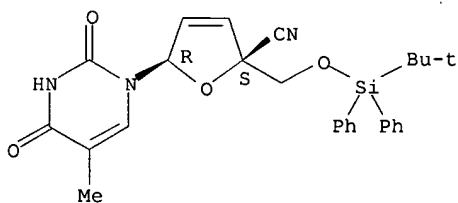
IT 774226-12-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)

RN 774226-12-9 CAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



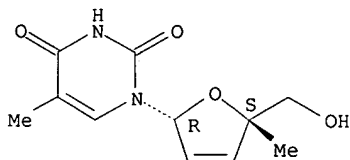
McIntosh

10/781,305

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:374658 CAPLUS
DN 141:99075
TI Novel 4'-substituted stavudine analog with improved anti-human immunodeficiency virus activity and decreased cytotoxicity
AU Dutschman, Ginger E.; Grill, Susan P.; Gullen, Elizabeth A.; Haraguchi, Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi; Baba, Masanori; Cheng, Yung-Chi
CS Department of Pharmacology, School of Medicine, Yale University, New Haven, CT, 06520, USA
SO Antimicrobial Agents and Chemotherapy (2004), 48(5), 1640-1646
CODEN: AMACQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB The antiviral drug 2',3'-didehydro-3'-deoxythymidine (D4T; also known as stavudine and Zerit), which is used against human immunodeficiency virus (HIV), causes delayed toxicity (peripheral neuropathy) in long-term use. After examining a series of 2',3'-didehydro-3'-deoxy-4'-substituted thymidine (4'-substituted D4T) analogs, 4'-ethynyl D4T was found to have a fivefold better antiviral effect and to cause less cellular and mitochondrial toxicity than D4T. The antiviral activity of this compound can be reversed by dThd but not by dCyd. The compound acted synergistically with β -L-2',3'-deoxy-3'-thiacytidine (also known as lamivudine) and β -L-2',3'-dideoxy-2',3'-didehydro-5-fluorocytidine (also known as elvucitabine) and additively with 2',3'-dideoxyinosine (also known as didanosine and Videx) and 3'-azido-3'-deoxythymidine (also known as Retrovir and zidovudine) against HIV. 4'-Ethynyl D4T is phosphorylated by purified human thymidine kinase 1 (TK-1) from CEM cells with a faster relative V_{max} and a lower K_m value than D4T. The efficiency of TK-1 in the phosphorylation of 4'-ethynyl D4T is fourfold better than that of D4T. While D4T is broken down by the catabolic enzyme thymidine phosphorylase, the level of breakdown of 4'-ethynyl D4T was below detection. Since 4'-ethynyl D4T has increased anti-HIV activity and decreased toxicity and interacts favorably with other currently used anti-HIV drugs, it should be considered for further development as an anti-HIV drug.
IT 151989-82-1, 2',3'-Didehydro-3'-deoxy-4'-methylthymidine
634907-29-2, 2',3'-Didehydro-3'-deoxy-4'-ethenylthymidine
634907-30-5 717913-88-7, 2',3'-Didehydro-3'-deoxy-4'-methylethenylthymidine 717913-89-8, 2',3'-Didehydro-3'-deoxy-4'-chloroethenylthymidine 717913-90-1, 4'-Allyl-2',3'-Didehydro-3'-deoxythymidine 717913-91-2, 4'-Cyano-2',3'-Didehydro-3'-deoxythymidine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(4'-substituted stavudine analog with improved anti-HIV activity and decreased cytotoxicity)
RN 151989-82-1 CAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

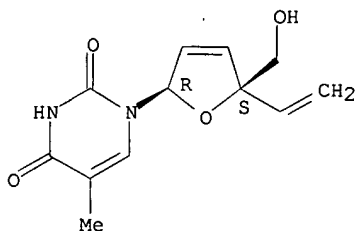
Absolute stereochemistry.



RN 634907-29-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

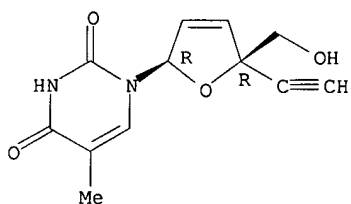
10/781,305



RN 634907-30-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

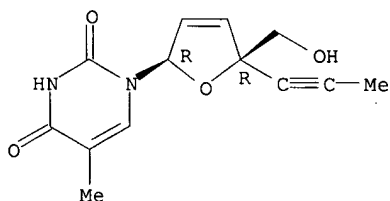
Absolute stereochemistry.



RN 717913-88-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

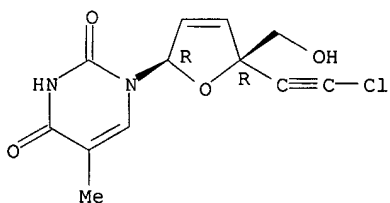
Absolute stereochemistry.



RN 717913-89-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-(chloroethynyl)-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



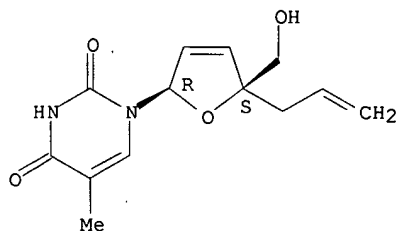
RN 717913-90-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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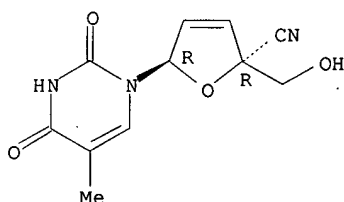
10/781,305



RN 717913-91-2 CAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:795099 CAPLUS

DN 140:42413

TI Synthesis of a highly active new anti-HIV agent 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine

AU Haraguchi, Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, G. E.; Cheng, Yung-Chi

CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(21), 3775-3777
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:42413

AB Comps. having Me, vinyl, and ethynyl groups at the 4'-position of stavudine (d4T: 2',3'-didehydro-3'-deoxythymidine) were synthesized. The comps. were assayed for their ability to inhibit the replication of HIV in cell culture. The 4'-ethynyl analog was found to be ten times more potent and less toxic than the parent compound stavudine.

IT 151989-82-1P 634907-29-2P 634907-30-5P

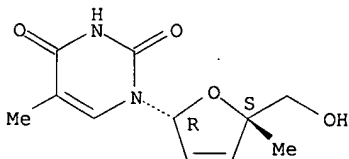
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and anti-HIV structure activity anal. of 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine analogs)

RN 151989-82-1 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



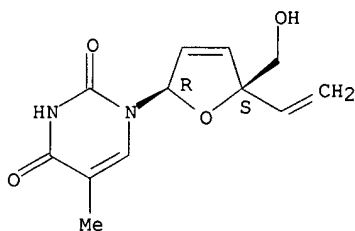
RN 634907-29-2 CAPLUS

CN 2,4-(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

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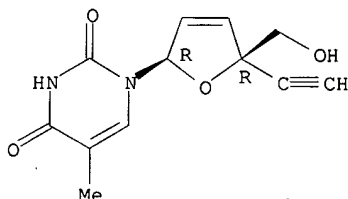
Absolute stereochemistry.



RN 634907-30-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:788367 CAPLUS

DN 140:16909

TI Asymmetric synthesis of oxygen heterocycles via Pd-catalyzed dynamic kinetic asymmetric transformations: Application to nucleosides

AU Trost, Barry M.; Brown, Brian S.; McEachern, Ernest J.; Kuhn, Oliver

CS Department of Chemistry, Stanford University, Stanford, CA, 94305-5080, USA

SO Chemistry--A European Journal (2003) 9(18), 4442-4451

CODEN: CEUJED; ISSN: 0947-6539

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 140:16909

AB Racemic butadiene and isoprene monoepoxide react with unsatd. alcs. in the presence of a chiral palladium catalyst and a boron co-catalyst to give 3-alkoxy-4-hydroxy-1-butene and 3-alkoxy-4-hydroxy-3-methyl-1-butene, resp., with excellent regio and enantioselectivity in a dynamic kinetic asym. transformation whereby both enantiomers of the starting epoxides provide the same enantiomeric product. In the case of 2-phenyl-butadiene monoepoxide, easily available from phenacyl chloride and vinylmagnesium bromide, the reaction proceeds by kinetic resolution. A model to rationalize the result is presented. The bis-olefin products are ideal substrates for the Ru catalyzed ring closing metathesis. In this way, five-, six-, and seven-membered oxygen heterocycles are readily available enantiomerically pure. The value of this very simple two step process is demonstrated by the use of the five-membered ring heterocycles to form unnatural and unusual nucleosides that cannot be easily accessed by other means. The sequence involves a Ru catalyzed isomerization of the initial 2,5-dihydrofuran to a 2,3-dihydrofuran followed by a selenium promoted addition of a pyrimidine or purine base. One advantage of this strategy is the easy access to either enantiomeric series, both of which have important biol. applications.

IT 628301-86-0P 630109-32-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(asym. synthesis of oxygen heterocycles and unsatd. dideoxynucleoside analogs which is amendable to the preparation of either enantiomeric series)

RN 628301-86-0 CAPLUS

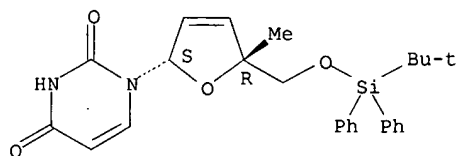
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5R)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-methyl-2-furanyl]-

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(9CI) (CA INDEX NAME)

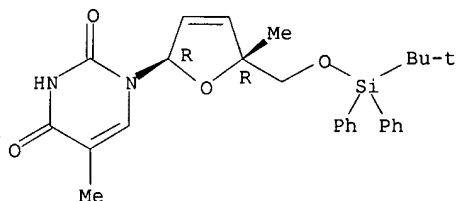
Absolute stereochemistry.



RN 630109-32-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



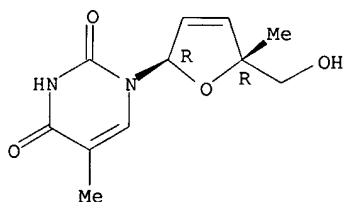
IT 628301-88-2P 628301-89-3P 630109-39-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of oxygen heterocycles and unsatd. dideoxynucleoside
analogs which is amendable to the preparation of either enantiomeric series)

RN 628301-88-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

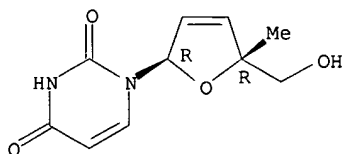
Absolute stereochemistry. Rotation (-).



RN 628301-89-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



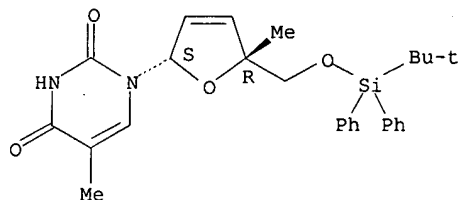
RN 630109-39-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5R)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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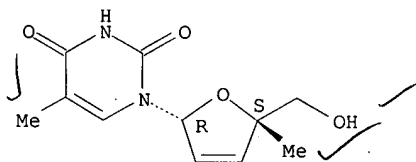
10/781,305



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:954815 CAPLUS
DN 138:321485
TI Reaction of 4',5'-epoxynucleosides with carbon nucleophiles:
Stereoselective synthesis of 4'-C-carbon-substituted nucleosides
AU Haraguchi, Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi
CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142-8555,
Japan
SO Nucleic Acids Research Supplement (2002), 2(Twenty-ninth Symposium on
Nucleic Acids Chemistry), 133-134
CODEN: NARSCE
PB Oxford University Press
DT Journal
LA English
OS CASREACT 138:321485
AB 4',5'-Epoxythymidine (I) was obtained as a single diastereoisomer by
oxidation of 3'-O-(t-Bu dimethylsilyl)-4',5'-dehydrothymidine with
dimethyldioxirane. When the epoxide I was treated with
allyltrimethylsilane in the presence of SnCl₄, regio- (at the C4') and
stereoselective (from the α-face) cleavage of the oxirane ring
proceeded to afford a 4'-C-α-allylated derivative as a sole product. In
the reaction of I with trimethylaluminum, 4'-C-β-Me derivative was
obtained as a major product.
IT 151989-82-1P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(stereoselective synthesis of 4'-C-carbon-substituted nucleosides via
epoxide ring opening of 4',5'-epoxynucleosides with carbon
nucleophiles)
RN 151989-82-1 CAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

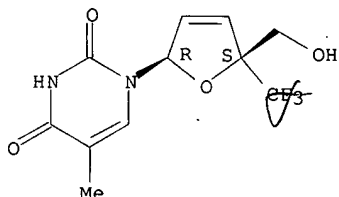
L4 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:556506 CAPLUS
DN 137:257266
TI In Silico Studies toward the Discovery of New Anti-HIV Nucleoside
Compounds with the Use of TOPS-MODE and 2D/3D Connectivity Indices. 1.
Pyrimidyl Derivatives
AU Estrada, Ernesto; Vilar, Santiago; Uriarte, Eugenio; Gutierrez, Yaquelin
CS Faculty of Pharmacy, Department of Organic Chemistry, University of
Santiago de Compostela, Santiago de Compostela, 15706, Spain
SO Journal of Chemical Information and Computer Sciences (2002), 42(5),
1194-1203
CODEN: JCISD8; ISSN: 0095-2338
PB American Chemical Society
DT Journal
LA English
AB Computational approaches are developed to design or rationally select,

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from structural databases, pyrimidyl nucleosides with anti-HIV activity. A data set of 141 nucleoside derivs. was selected from literature, and a discriminant function was derived with the use of TOPS-MODE descriptors. The model is able to classify correctly 83% of the compds. in a training set and 88.5% in a cross-validation set. The use of an external prediction set selected from the most recent literature proved that the model has good predictive ability, with a good classification of 85% of the compds. in this set. This model permitted the structural interpretation of the anti-HIV activity of these nucleoside analogs. This interpretation is formulated as several rules concerning the influence of several structural features on the activity/inactivity of such compds. A QSAR model for the most active compds. was developed with the combined use of 2D and 3D connectivity indexes. This model explains 88% of the variance in the activity of these compds. in MT4 assay. The combination of both models will permit the selection of pyrimidyl nucleoside leads and their optimization to improve the potency of the selected ones.

IT 219649-58-8
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in silico studies toward discovery of anti-HIV nucleoside compds. with use of TOPS-MODE and 2D/3D connectivity indexes)
 RN 219649-58-8 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(trifluoromethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

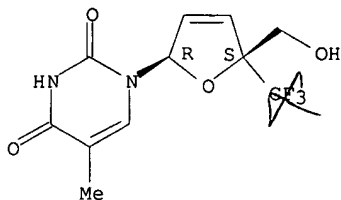


RE.CNT 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1998:784373 CAPLUS
 DN 130:110528
 TI Synthesis of 4'-trifluoromethyl nucleoside analogs
 AU Kozak, Janusz; Johnson, Carl R.
 CS Department of Chemistry, Wayne State University, Detroit, MI, 48202-3489, USA
 SO Nucleosides & Nucleotides (1998), 17(12), 2221-2239
 CODEN: NUNUD5; ISSN: 0732-8311
 PB Marcel Dekker, Inc.
 DT Journal
 LA English
 AB A strategy based on the use of (trifluoromethyl)trimethylsilane for introduction of the trifluoromethyl group at the C-4 of ribose has been developed and utilized in the synthesis of various novel 4'-trifluoromethylated nucleoside analogs. Screening of these analogs against HIV did not reveal significant biol. activity.
 IT 219649-58-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of trifluoromethyl nucleoside analogs)
 RN 219649-58-8 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(trifluoromethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/781,305



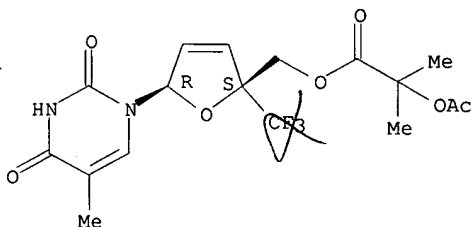
IT 219649-57-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trifluoromethyl nucleoside analogs)

RN 219649-57-7 CAPLUS

CN Propanoic acid, 2-(acetyloxy)-2-methyl-, [(2S,5R)-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(trifluoromethyl)-2-furanyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:109073 CAPLUS

DN 124:290140

TI Synthesis and biological evaluation of 4'-C-methyl nucleosides

AU Waga, Toshiaki; Ohnui, Hiroshi; Meguro, Hiroshi

CS Central Res. Lab., Asahi Breweries Ltd., Tokyo, 143, Japan

SO Nucleosides & Nucleotides (1996), 15(1-3), 287-304

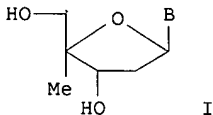
CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

GI



AB A series of 2'-deoxy, 2',3'-unsatd. and 2',3'-dideoxynucleoside analogs, e.g. I (B = adenine, cytosine, thymine), which have an addnl. Me group at the 4'-position, have been synthesized. When evaluated for their inhibitory activity against HIV in MT-4 cell, 2'-deoxy-4'-C-Me nucleosides exhibited potent activity.

IT 151989-82-1P 175545-34-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of C-methyldeoxyribonucleosides)

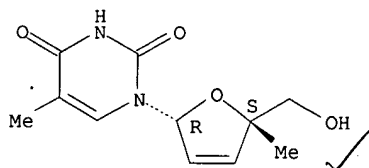
RN 151989-82-1 CAPLUS

CN Thymidine, 2',3'-dideoxy-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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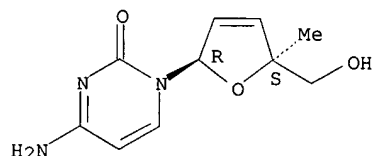
10/781,305



RN 175545-34-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



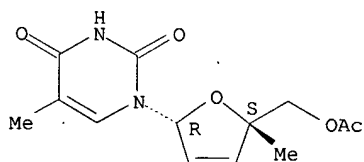
IT 160766-57-4P 175545-35-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of C-methyldeoxyribonucleosides)

RN 160766-57-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(acetyloxy)methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl-, (2R-cis)- (9CI) (CA INDEX NAME)

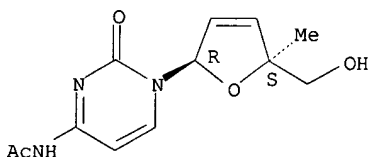
Absolute stereochemistry.



RN 175545-35-4 CAPLUS

CN Acetamide, N-[1-[2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:53061 CAPLUS

DN 124:202920

TI Allylic Substitution of 3',4'-Unsaturated Nucleosides: Organosilicon-Based Stereoselective Access to 4'-C-Branched 2',3'-Dideoxyribonucleosides

AU Haraguchi, Kazuhiro; Tanaka, Hiromichi; Itoh, Yoshiharu; Yamaguchi, Kentaro; Miyasaka, Tadashi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142, Japan

SO Journal of Organic Chemistry (1996), 61(3), 851-8

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

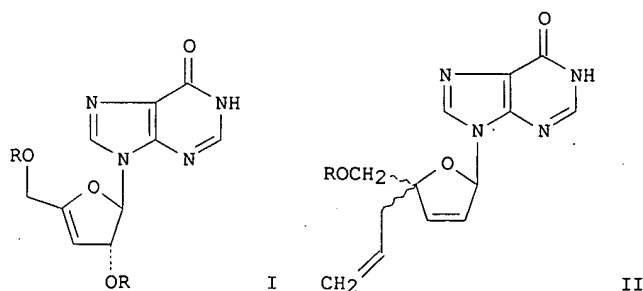
LA English

OS CASREACT 124:202920

GI

Cited

McIntosh



AB Reactions of organosilicon reagents (such as allyltrimethylsilane, silyl enol ethers, cyanotrimethylsilane) with 3',4'-unsatd. nucleosides, e.g. I (R = Ac, Bz, TBDPS), were investigated in the presence of a Lewis acid in CH_2Cl_2 . In the cases of uracil and N4-acetylcytosine derivs., SnCl_4 appeared to be suitable, whereas the use of EtAlCl_2 was necessary for the hypoxanthine derivs. The main pathway of these reactions was found to be α -face-selective SN_2' allylic substitution, irrespectively of the configuration of 2'-O-acyl leaving group. As a result, a new stereoselective operation for C-C bonds formation leading to 4'-carbon-substituted 2',3'-didehydro-2',3'-dideoxyribonucleosides, e.g. II (R = Ac, Bz, TBDPS), has been disclosed for the first time. Stereochem. of these 4'-C-branched products can be assigned on the basis of ^1H NMR spectroscopy in terms of the anisotropic shift of H-5 of the pyrimidine base (or H-8 of the hypoxanthine), which is caused by the 5'-O-(tert-butyl-diphenylsilyl) protecting group.

IT 142468-72-2P 142560-96-1P 174275-93-5P
174391-02-7P

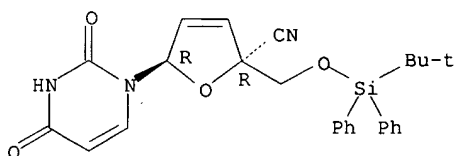
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective allylic substitution of unsatd. nucleosides in preparation of branched didehydrideoxyribonucleosides)

RN 142468-72-2 CAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

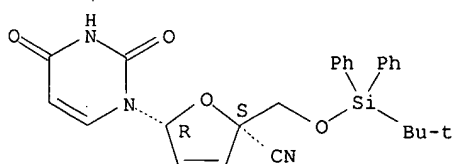
Absolute stereochemistry.



RN 142560-96-1 CAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



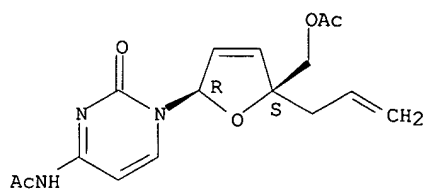
RN 174275-93-5 CAPLUS

CN Cytidine, N-acetyl-2',3'-didehydro-2',3'-dideoxy-4'-C-2-propenyl-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

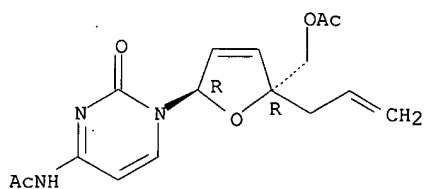
10/781,305



RN 174391-02-7 CAPLUS

CN Acetamide, N-[1-[5-[(acetyloxy)methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



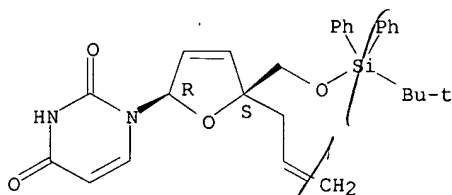
IT 142468-65-3P 142468-66-4P 142468-69-7P
142468-70-0P 142560-91-6P 142560-93-8P
142560-94-9P 142562-05-8P 145668-71-9P
153380-74-6P 174275-94-6P 174275-96-8P
174391-03-8P 174391-04-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective allylic substitution of unsatd. nucleosides in preparation
of branched didehydrideoxyribonucleosides)

RN 142468-65-3 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

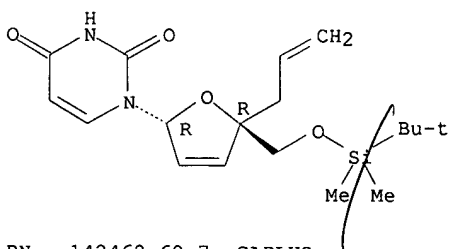
Absolute stereochemistry.



RN 142468-66-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



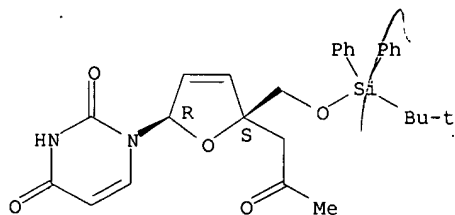
RN 142468-69-7 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

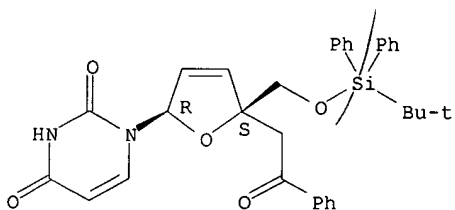
10/781,305



RN 142468-70-0 CAPLUS

CN Uridine, 2',3'-dideoxy-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

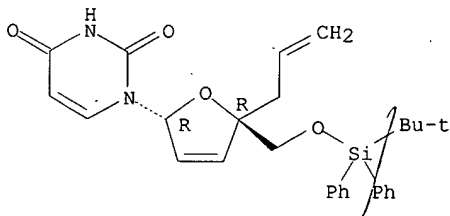
Absolute stereochemistry.



RN 142560-91-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

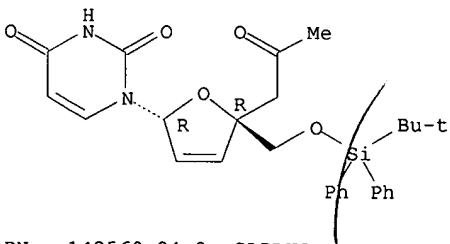
Absolute stereochemistry.



RN 142560-93-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxopropyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

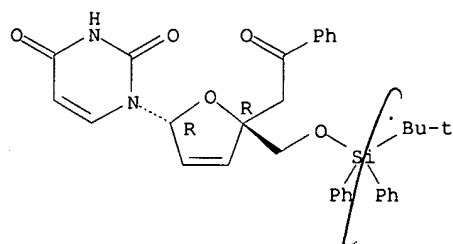


RN 142560-94-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxo-2-phenylethyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

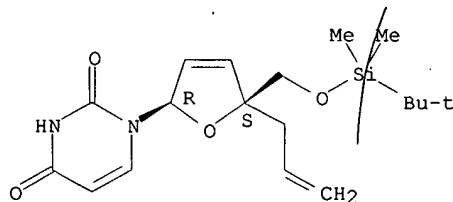
Absolute stereochemistry.

10/781,305



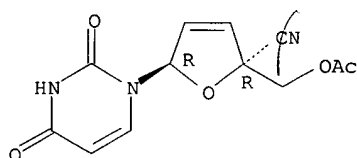
RN 142562-05-8 CAPLUS
CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



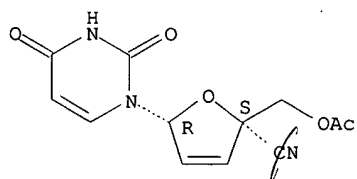
RN 145668-71-9 CAPLUS
CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



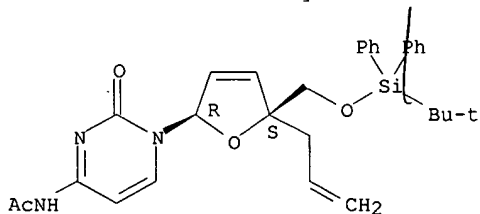
RN 153380-74-6 CAPLUS
CN 2-Furancarboxitrile, 2-[(acetyloxy)methyl]-5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174275-94-6 CAPLUS
CN Cytidine, N-acetyl-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



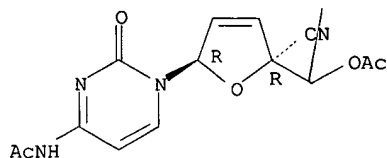
RN 174275-96-8 CAPLUS
CN Cytidine, N-acetyl-4'-C-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate

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(9CI) (CA INDEX NAME)

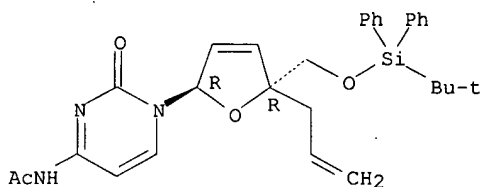
Absolute stereochemistry.



RN 174391-03-8 CAPLUS

CN Acetamide, N-[1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

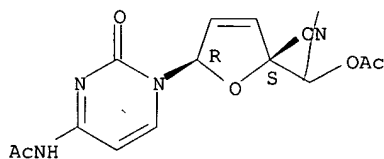
Absolute stereochemistry.



RN 174391-04-9 CAPLUS

CN Acetamide, N-[1-[5-[(acetyloxy)methyl]-5-cyano-2,5-dihydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:23148 CAPLUS

DN 122:133690

TI Preparation of 4'-methylnucleosides as virucides or neoplasm inhibitors

IN Waga, Toshiaki; Nishizaki, Tomoko; Oorui, Hiroshi; Meguro, Hiromu

PA Asahi Breweries Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

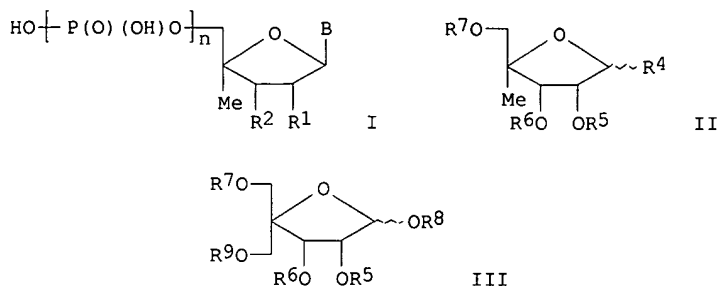
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06080688	A	19940322	JP 1992-258847	19920903
PRAI	JP 1992-258847		19920903		
OS	MARPAT 122:133690				
GI					

Printed



AB The title compds. I (R1, R2 = H, OH; R1R2 may form ring; B = purine or pyrimidine bases; n = 0, 1, 3) or their esters, ethers, or salts are prepared by (deprotection and) deoxidn. of sugars III (R5-8 = protective group; R9 = H, protective group) followed by reaction of resulting sugars II (R4 = acyloxy, halo; R5-7 = same as III) with (silylated) (acylated) nucleic acid bases and optional deprotection and derivatization. Pharmaceutical compns. containing I and ≥ 1 inert supports and/or diluents are also claimed (no data). N6-benzoyladenine was silylated by Me3SiCl in Me3SiNHSiMe3 under reflux overnight, mixed with 1,2-diacetyl-3,5-dibenzyl-4-methyl- β -D-ribofuranose (preparation given), 1,2-dichloroethane, and SnCl4, and heated at 60° for 4 h to give 71% N6-benzoyl-2'-acetyl-3',5'-dibenzyl-4'-methyladenosine, deprotection of which gave 4'-methyladenosine.

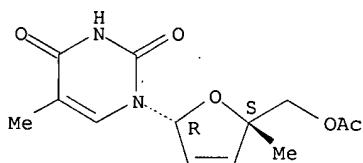
IT 160766-57-4P, 5'-Acetyl-2',3'-didehydro-3'-deoxy-4'-methylthymidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 160766-57-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(acytyloxy)methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



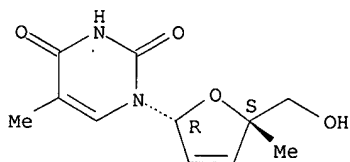
IT 151989-82-1P, 2',3'-Didehydro-3'-deoxy-4'-methylthymidine

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as virucide and neoplasm inhibitor)

RN 151989-82-1 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



→ claim 5

L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:164819 CAPLUS

DN 120:164819

TI 4'-Carbon-substituted pyrimidine nucleosides as pharmaceuticals and their preparation

IN Haraguchi, Kazuhiro; Tanaka, Hiromichi; Myasaka, Sada

PA Yamasa Shoyu Kk, Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

McIntosh

10/781,305

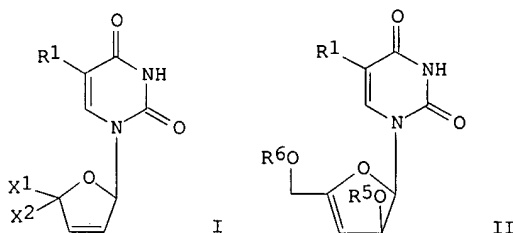
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05230058	A	19930907	JP 1992-72915	19920224
PRAI	JP 1992-72915		19920224		
OS	CASREACT 120:164819; MARPAT 120:164819				
GI					



AB The title compds. I [R1 = H, halo, lower alkyl; (X1, X2) = (R2, CH2OR3), (CH2OR3, R2); R2 = allyl, 2-alkylallyl, cycloalkanon-2-yl, R4CH2, cyano; R3 = H, protective group; R4 = acyl], which show antiviral or antitumor activity (no data), are prepared by treating nucleosides II (R1 = same as I; R5 = acyl; R6 = protective group) with organosilicon compds. in presence of Lewis acids. II (R1 = H, R5 = Ac, R6 = SiPh2CMe3) (preparation given) was treated with allyltrimethylsilane and SnCl4 in CH2Cl2 at $\leq -70^\circ$ for 7 h to give 74% I (R1 = H, X1 = CH2OSiPh2CMe3, X2 = allyl) and 5% I (R1 = H, X1 = allyl, X2 = CH2OSiPh2CMe3).

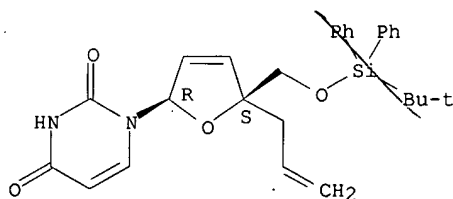
IT 142468-65-3P 142468-68-6P 142468-69-7P
142468-70-0P 142468-72-2P 142560-91-6P
142560-92-7P 142560-93-8P 142560-94-9P
142560-96-1P 145668-71-9P 153298-97-6P
153298-99-8P 153380-73-5P 153380-74-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pharmaceutical)

RN 142468-65-3 CAPLUS

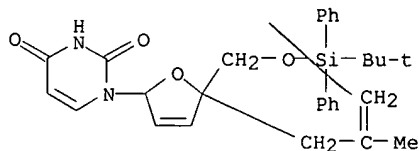
CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142468-68-6 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)



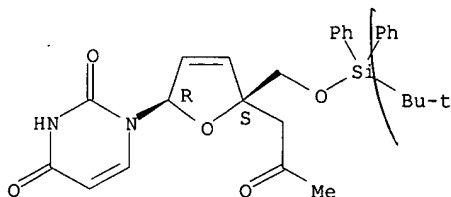
RN 142468-69-7 CAPLUS

McIntosh

10/781,305

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxopropyl)- (9CI) (CA INDEX NAME)

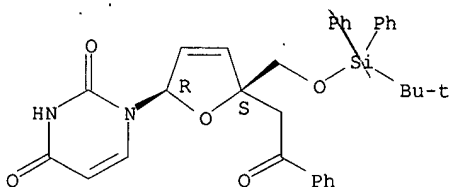
Absolute stereochemistry.



RN 142468-70-0 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

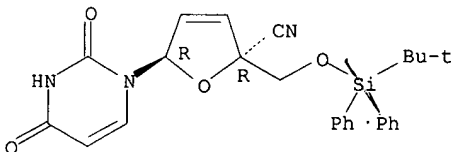
Absolute stereochemistry.



RN 142468-72-2 CAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

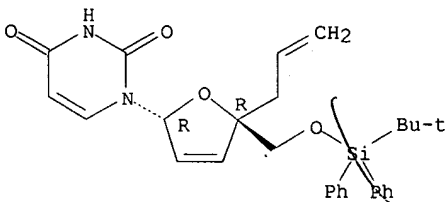
Absolute stereochemistry.



RN 142560-91-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

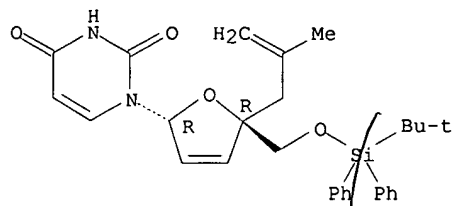


RN 142560-92-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-methyl-2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

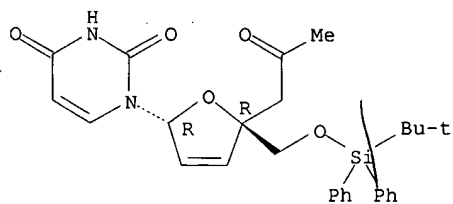
10/781,305



RN 142560-93-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxopropyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

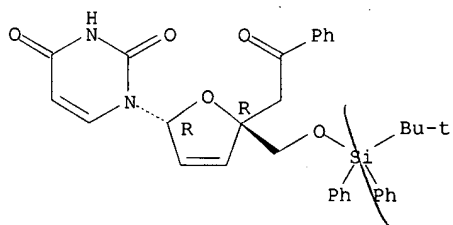
Absolute stereochemistry.



RN 142560-94-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxo-2-phenylethyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

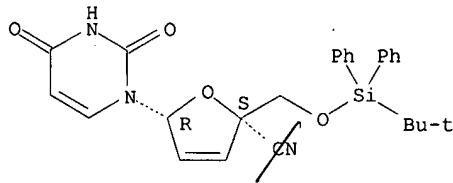
Absolute stereochemistry.



RN 142560-96-1 CAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



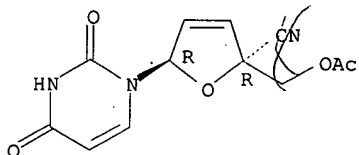
RN 145668-71-9 CAPLUS

CN Uridine, 4'-cyano-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

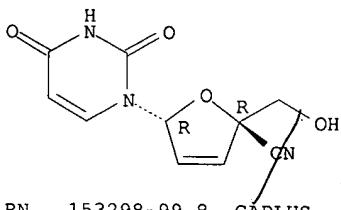
10/781,305



RN 153298-97-6 CAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

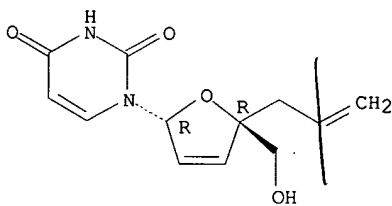
Absolute stereochemistry.



RN 153298-99-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

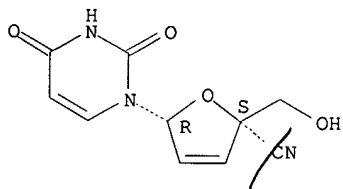
Absolute stereochemistry.



RN 153380-73-5 CAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2S-trans)- (9CI) (CA INDEX NAME)

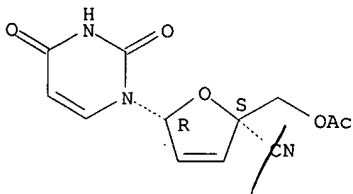
Absolute stereochemistry.



RN 153380-74-6 CAPLUS

CN 2-Furancarboxitrile, 2-[(acetyloxy)methyl]-5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:94832 CAPLUS

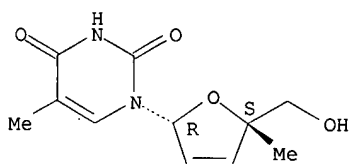
DN 120:94832

McIntosh

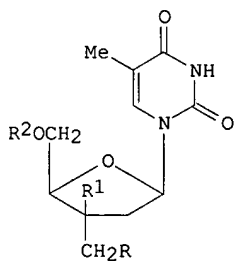
10/781,305

TI Rational design for potentially antitumor and/or antiviral nucleosides
AU Ohnui, Hiroshi; Waga, Toshiaki; Miyakawa, Isao; Ueno, Akihiro; Meguro, Hiroshi
CS Fac. Agric., Tohoku Univ., Aobaku, 981, Japan
SO Nucleic Acids Symposium Series (1993), 29(Second International Symposium on Nucleic Acids Chemistry), 93-4
CODEN: NACSD8; ISSN: 0261-3166
DT Journal
LA English
AB Several 4'-C-Me nucleosides, pyranoid and furanoid nucleosides have been synthesized according to the authors' rational design for anti-tumor or/and anti-viral nucleosides, and the biol. activities of these nucleosides so far tested are described.
IT 151989-82-1
RL: BIOL (Biological study)
(HIV inhibition by)
RN 151989-82-1 CAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1994:54874 CAPLUS
DN 120:54874
TI Synthesis of 1-(2,3-dideoxy-4-C-methyl-β-D-glycero-pent-2-enofuranosyl)thymine, 1-(2,3-dideoxy-4-C-methyl-β-D-glycero-pentofuranosyl)thymine and 1-(4-C-azidomethyl-2-deoxy-β-D-threo-pentofuranosyl)thymine
AU Hrebabecky, Hubert; Holy, Antonin
CS Inst. Org. Chem. Biochem., Acad. Sci. Czech Republic, Prague, 166 10, Czech Rep.
SO Collection of Czechoslovak Chemical Communications (1993), 58(7), 1668-74
CODEN: CCCCAK; ISSN: 0010-0765
DT Journal
LA English
GI



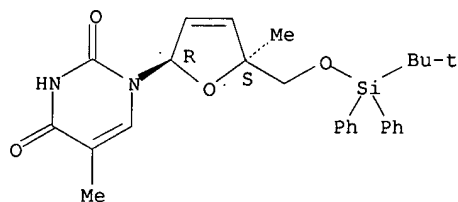
Cited

AB Title compds., e.g. I (R = N3, OH R2 = H; R-R2 = H), were prepared from I (R = OH, R1 = OR3, R2R3 = CMe2) and tested in vitro on inhibitory activity against replication of HIV-1 and HSV-2 in CEM and MT-4 cells.
IT 151989-81-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 151989-81-0 CAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-methyl- (9CI) (CA INDEX NAME)

McIntosh

10/781,305

Absolute stereochemistry.



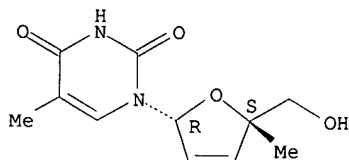
IT 151989-82-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reduction of)

RN 151989-82-1 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:539703 CAPLUS

DN 119:139703

TI Preparation of antiviral 4'-substituted nucleosides

IN O-Yang, Counde; Walker, Keith A. M.; Kurz, Walter; Wu, Helen Y.

PA Syntex (U.S.A.) Inc., USA

SO U.S., 29 pp.

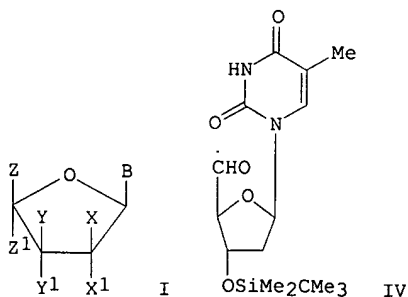
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5192749	A	19930309	US 1990-526485	19900521
PRAI	US 1990-526485		19900521		
OS	MARPAT 119:139703				
GI					



AB Nucleosides I [B = purinyl, pyrimidinyl, X = X1 = H; Y = H; Y1 = OH, H; Y1X1 = bond, Z = HO[P(O)(OH)O]nCH2, HOPH(O)OCH2, n = 0-3; Y1Z = cyclic phosphate, Z1 = cyano, Me, CH2N3, CH2J, J = halo; Z1Y1 = CH2O] and their pharmaceutically acceptable esters, ethers, amides, N-acyl moieties and salts were prepared as virucides including HIV inhibition (no data). Thus, 4'-methylthymidine (II) and its 4'-isomer (III) were prepared from 5'-O-(dimethoxytrityl)thymidine via silylation, detritylation, and oxidation

McIntosh

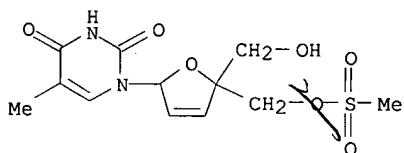
to give the pentodialdofuranosylthymine IV. Hydroxymethylation of IV followed by mesylation and iodination gave the 4'-epimers of I (B = thyminy1, X = X1 = Y = H, Y1 = OSiMe2CMe3, Z, Z1 = CH2OH, CH2I). Hydrogenolysis/deiodination of the latter followed by desilylation gave II and III.

IT 139926-02-6P 140145-76-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and azidolysis of)

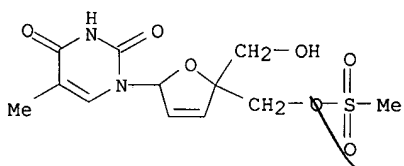
RN 139926-02-6 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-[[(methylsulfonyl)oxy]methyl]- (9CI) (CA INDEX NAME)



RN 140145-76-2 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)-, 5'-methanesulfonate (9CI) (CA INDEX NAME)

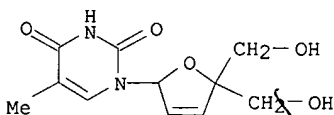


IT 139926-01-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation of)

RN 139926-01-5 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

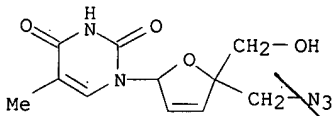


IT 139926-03-7P 140145-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiviral agent in AIDS treatment)

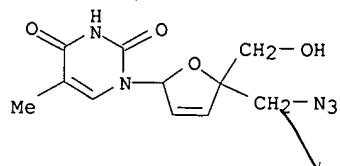
RN 139926-03-7 CAPLUS

CN Thymidine, 4'-(azidomethyl)-2',3'-didehydro-3'-deoxy- (9CI) (CA INDEX NAME)

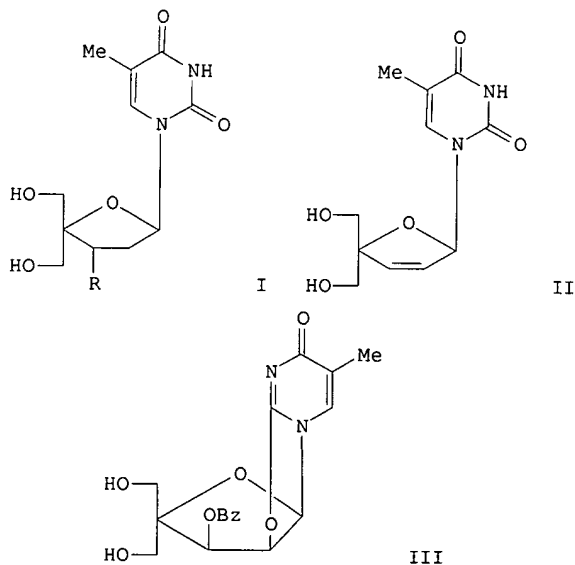


RN 140145-77-3 CAPLUS

CN Thymidine, 5'-azido-2',3'-didehydro-2',3',5'-trideoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

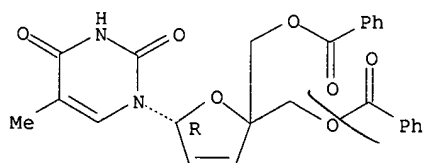


L4 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1993:473008 CAPLUS
 DN 119:73008
 TI Synthesis of 1-[3-azido-2,3-dideoxy-4-C-(hydroxymethyl)- α -L-threo-pentofuranosyl]thymine, 1-[2,3-dideoxy-4-C-(hydroxymethyl)- α -L-glycero-pentofuranosyl]thymine, and 1-[2,3-dideoxy-4-C-(hydroxymethyl)- α -L-glycero-pent-2-enofuranosyl]thymine
 AU Hrebabecky, Hubert; Holy, Antonin
 CS Inst. Org. Chem. Biochem., Prague, 166 10, Czech.
 SO Collection of Czechoslovak Chemical Communications (1993), 58(2), 409-20
 CODEN: CCCCAK; ISSN: 0010-0765
 DT Journal
 LA English
 GI



AB Title compds. I and II (R = H, N3) were prepared from 1-(2-O-Acetyl-3,5-di-O-benzoyl-4-C-benzoyloxymethyl- α -L-arabinofuranosyl)thymine via intermediate anhydro nucleoside III.
 IT 148704-71-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and debenzoylation of)
 RN 148704-71-6 CAPLUS
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[5,5-bis[(benzoyloxy)methyl]-2,5-dihydro-2-furanyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



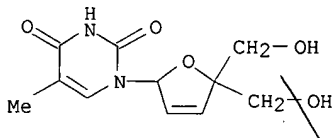
10/781,305

IT 139926-01-5P 148704-72-7P 148704-73-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 139926-01-5 CAPLUS

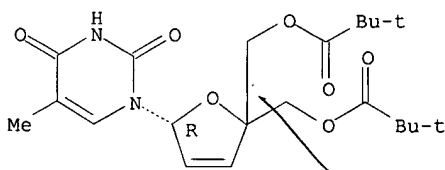
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 148704-72-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2(5H)-furanylidene]bis(methylene) ester, (R)- (9CI) (CA INDEX NAME)

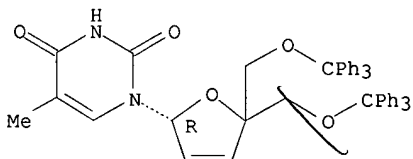
Absolute stereochemistry.



RN 148704-73-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-dihydro-5,5-bis[(triphenylmethoxy)methyl]-2-furanyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:70688 CAPLUS

DN 118:70688

TI Structure of a 4'-C-branched 2',3'-didehydro-2',3'-dideoxyuridine

AU Yamaguchi, Kentaro; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Itho, Yoshiharu; Miyasaka, Tadashi

CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan

SO Acta Crystallographica, Section C: Crystal Structure Communications (1992), C48(12), 2277-8

CODEN: ACSCEE; ISSN: 0108-2701

DT Journal

LA English

AB 4'-Cyano-2',3'-didehydro-2',3'-dideoxyuridine 5'-acetate is monoclinic, space group P21, with a 14.870(1), b 5.411(1), c 8.150(1) Å. and β 95.71(2)°; Z = 2, dc = 1.411; R = 0.047, Rw = 0.046 for 1056 reflections. Atomic coordinates are given. The N-glucoside torsion angle χ has a value of -82.7(3)° in the anti range. The C4'-C5' side-chain conformation is +sc with γ = 47.2(4)°. The sugar ring is essentially planar. The conformational parameters are in accordance with the IUPAC-IUB Joint Commission on Biochem. Nomenclature guidelines.

IT 145668-71-9

RL: PRP (Properties)
(crystal structure of)

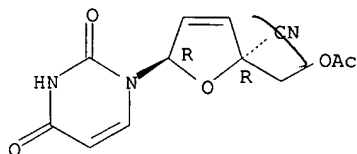
RN 145668-71-9 CAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

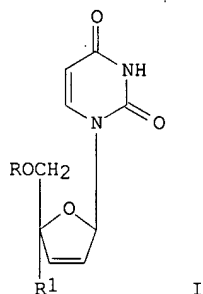
McIntosh

10/781,305

Absolute stereochemistry.

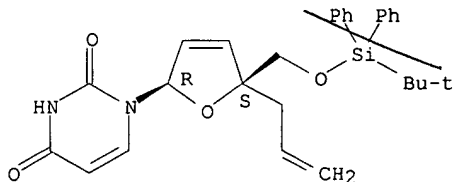


L4 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:470218 CAPLUS
DN 117:70218
TI Stereoselective synthesis of 4'-C-branched 2',3'-didehydro-2',3'-dideoxy
nucleosides based on tin tetrachloride-promoted allylic rearrangement
AU Haraguchi, Kazuhiro; Tanaka, Hiromichi; Itoh, Yoshiharu; Saito, Shigeru;
Miyasaka, Tadashi
CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan
SO Tetrahedron Letters (1992), 33(20), 2841-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 117:70218
GI



AB Based on SnCl4-promoted allylic rearrangement between a 3',4'-unsatd.
uracil nucleoside and organosilicon reagents, stereoselective introduction
of carbon functionalities to the 4'-position has been accomplished,
disclosing a new entry for a series of 4'-C-branched nucleosides, e.g. I
(R = Me3CPh2Si, R1 = CH2CH:CH2, CH2CMe:CH2, CN, phenacyl), of biol.
interest.
IT 142468-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and desilylation of)
RN 142468-65-3 CAPLUS
CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-
dimethylethyl)diphenylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 142468-66-4P 142468-68-6P 142468-69-7P
142468-70-0P 142468-72-2P 142560-91-6P

McIntosh

10/781,305

142560-92-7P 142560-93-8P 142560-94-9P

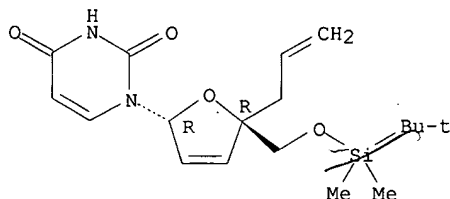
142560-96-1P 142562-05-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 142468-66-4 CAPLUS

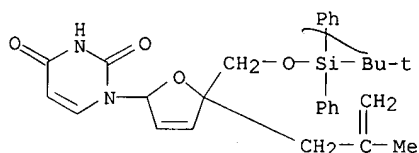
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142468-68-6 CAPLUS

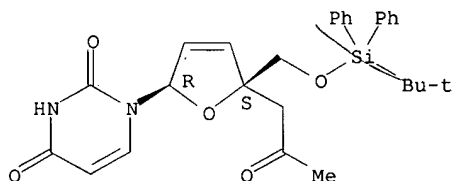
CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 142468-69-7 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxopropyl)- (9CI) (CA INDEX NAME)

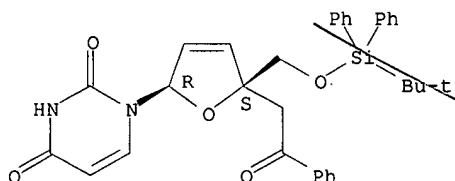
Absolute stereochemistry.



RN 142468-70-0 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



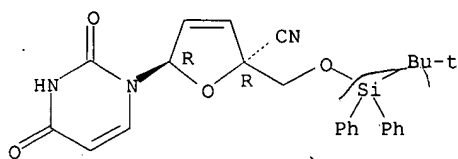
RN 142468-72-2 CAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

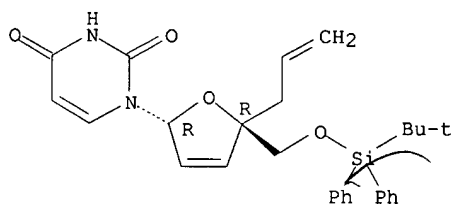
McIntosh

10/781,305



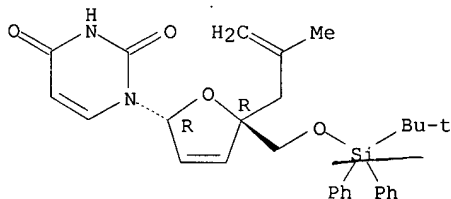
RN 142560-91-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



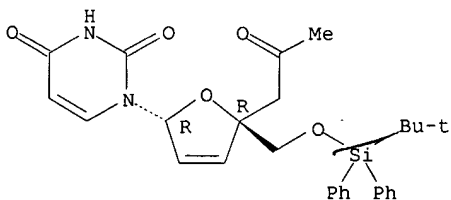
RN 142560-92-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-methyl-2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142560-93-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxopropyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

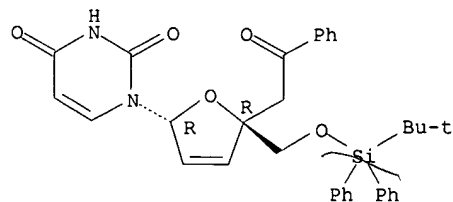
Absolute stereochemistry.



RN 142560-94-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxo-2-phenylethyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

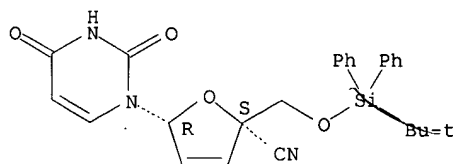
10/781,305



RN 142560-96-1 CAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S-trans)- (9CI)
(CA INDEX NAME)

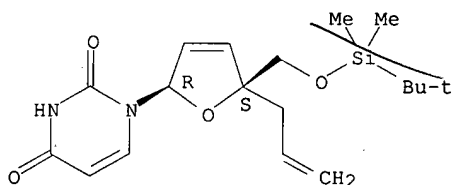
Absolute stereochemistry.



RN 142562-05-8 CAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1992:174641 CAPLUS

DN 116:174641

TI 4'-Substituted nucleosides as inhibitors of HIV: an unusual oxetane derivative

AU O-Yang, Counde; Kurz, Walter; Eugui, Elsie M.; McRoberts, Mary Jane; Verheyden, Julien P. H.; Kurz, Lilia J.; Walker, Keith A. M.

CS Syntex Res., Palo Alto, CA, 94304, USA

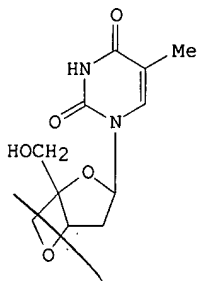
SO Tetrahedron Letters (1992), 33(1), 41-4

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GI



I

McIntosh

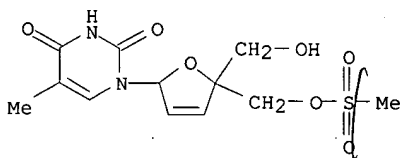
10/781,305

AB A number of derivs. of 4'-hydroxymethylthymidine were prepared The fused oxetane derivative I inhibited HIV replication in A301 (Alex) cells with remarkably low bone marrow toxicity.

IT 139926-02-6P 140145-76-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and azidolysis of)

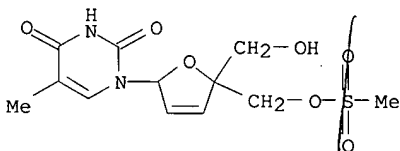
RN 139926-02-6 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-[[(methylsulfonyl)oxy]methyl]- (9CI) (CA INDEX NAME)



RN 140145-76-2 CAPLUS

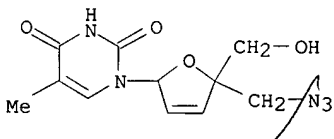
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)-, 5'-methanesulfonate (9CI) (CA INDEX NAME)



IT 139926-03-7P 140145-77-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

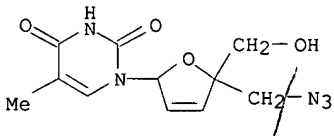
RN 139926-03-7 CAPLUS

CN Thymidine, 4'-(azidomethyl)-2',3'-didehydro-3'-deoxy- (9CI) (CA INDEX NAME)



RN 140145-77-3 CAPLUS

CN Thymidine, 5'-azido-2',3'-didehydro-2',3',5'-trideoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

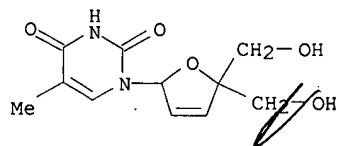


IT 139926-01-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, partial mesylation, and virucidal activity of)

RN 139926-01-5 CAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

10/781,305



=> d his

(FILE 'HOME' ENTERED AT 10:35:34 ON 15 APR 2007)

FILE 'REGISTRY' ENTERED AT 10:36:07 ON 15 APR 2007

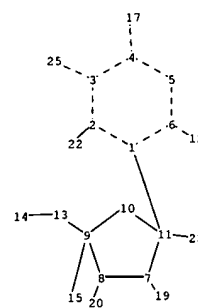
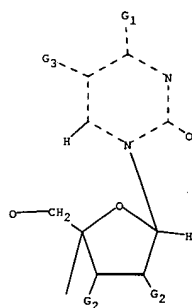
L1 STRUCTURE UPLOADED

L2 3 S L1 SSS SAM

L3 65 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:36:56 ON 15 APR 2007

L4 27 S L3



chain nodes :

12 13 14 15 17 19 20 22 23 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

1-11 2-22 3-25 4-17 6-12 7-19 8-20 9-13 9-15 11-23 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

1-2 1-6 1-11 2-3 3-4 3-25 4-5 4-17 5-6 6-12 7-8 7-11 7-19 8-9 8-20 9-10 10-11

exact bonds :

2-22 9-13 9-15 11-23 13-14

G1:O,NH

G2:H,Cl,Br,F,I

G3:C,H,X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS 25:CLASS